

In the Specification

Please insert the following paragraph before the first paragraph on page 1 of the specification.

Page 1, new paragraph

A1 This application is the National Stage of International Application No. PCT/JP00/02765, filed on April 27, 2000.

Please substitute the following paragraph for the fourth paragraph starting on page 9 of the specification.

Page 9, paragraph 4 (Currently Amended)

A2 Examples of the substituent include hydroxy group, cyano group, nitro group, oxo group, halogen atom (e.g., fluorine atom, chlorine atom, bromine atom, iodine atom etc.), a group of the formula: $-YR^a$ (wherein R^a is a hydrocarbon group optionally having a substituent or substituents or a heterocyclic group optionally having a substituent or substituents, Y is a bond (single bond), $-CR^bR^c$ -, $-COO$ -, $-CO$ -, $-CO-NR^b$ -, $-CS-NR^b$ -, $-CO-S$ -, $-CS-S$ -, $-CO-NR^b-CO-NR^c$ -, $-C(=NH)-NR^b$ -, $-NR^b$ -, $-NR^b-CO$ -, $-NR^b-CS$ -, $-NR^b-CO-NR^c$ -, $-NR^b-CS-NR^c$ -, $-NR^b-CO-O$ -, $-NR^b-CS-O$ -, $-NR^b-CO-S$ -, $-NR^b-CS-S$ -, $-NR^b-C(=NH)-NR^c$ -, $-NR^b-SO_2$ -, $-NR^b-NR^c$ -, $-O$ -, $-O-CO$ -, $-O-CS$ -, $-O-CO-O$ -, $-O-CO-NR^b$ -, $-O-C(=NH)-NR^b$ -, $-S$ -, $-SO$ -, $-SO_2$ -, $-SO_2-NR^b$ -, $-S-CO$ -, $-S-CS$ -, $-S-CO-NR^b$ -, $-S-CS-NR^b$ -, $-S-C(=NH)-NR^b$ -, $=C$ - and the like, wherein R^b and R^c are each a hydrogen atom, alkyl group optionally having a substituent or substituents, alkenyl group optionally having a substituent or substituents, alkynyl group optionally having a substituent or substituents, an aryl group optionally having a substituent or substituents, cycloalkyl group or cycloalkenyl group optionally having a substituent or

A2
could

substituents, a heterocyclic group optionally having a substituent or substituents, acyl group derived from sulfonic acid, acyl group derived from carboxylic acid etc.), and the like.

Please substitute the following paragraph for the second paragraph on page 12 of the specification.

Page 12, paragraph 2 (Currently Amended)

A3

Examples of the aromatic heterocyclic group include aromatic monocyclic heterocyclic group (e.g., 5- or 6-membered aromatic monocyclic heterocyclic group such as furyl, thienyl, pyrrolyl, oxazolyl, isooxazolyl, thiazolyl, isothiazolyl, imidazolyl, pyrazolyl, 1,2,3-oxadiazolyl, 1,2,4-oxadiazolyl, 1,3,4-oxadiazolyl, furazanyl, 1,2,3-thiadiazolyl, 1,2,4-thiadiazolyl, 1,3,4-thiadiazolyl, 1,2,3-triazolyl, 1,2,4-triazolyl, tetrazolyl, pyridyl, pyridazinyl, pyrimidinyl, pyrazinyl, triazinyl etc.); condensed aromatic heterocyclic group [e.g., 8 to 12-membered condensed aromatic heterocyclic group (preferably a heterocycle wherein the aforementioned 5- or 6-membered aromatic monocyclic heterocyclic group is condensed with a benzene ring or a heterocycle wherein the same or different two heterocycles of the aforementioned 5- or 6-membered aromatic monocyclic heterocyclic group are condensed), such as benzofuranyl, isobenzofuranyl, benzothienyl, indolyl, isoindolyl, 1H-indazolyl, benzindazolyl, benzooxazolyl, 1,2-benzoisooxazolyl, benzothiazolyl, benzopyranyl, 1,2-benzoisothiazolyl, 1H-benzotriazolyl, quinolyl, isoquinolyl, cinnolinyl, quinazolinyl, quinoxalinyl, phthalazinyl, naphthyridinyl, purinyl, pteridinyl, carbazolyl, α -carbolinyl, β -carbolinyl, γ -carbolinyl, acridinyl, phenoxazinyl, phenothiazinyl, phenazinyl, phenoxathiinyl, thianthrenyl, phenanthridinyl, phenanthrolinyl, indolizinyl, ~~pyrro~~ **pyrrolo** [1,2-b]pyridazinyl, pyrrazolo[1,5-a]pyridyl, imidazo[1,2-a]pyridyl, imidazo[1,5-a]pyridyl, imidazo[1,2-b]pyridazinyl, imidazo[1,2-a]pyrimidinyl, 1,2,4-triazolo[4,3-a]pyridyl, 1,2,4-triazolo[4,3-b]pyridazinyl etc.] and the like.

Please substitute the following paragraph for the third paragraph starting on page 12 of the specification.

Page 12, paragraph 3 (Currently Amended)

A4
Examples of the non-aromatic heterocyclic group include 3 to 8-membered (preferably 5- or 6-membered) saturated or unsaturated (preferably saturated) non-aromatic heterocyclic **group groups** (aliphatic heterocyclic group), such as oxiranyl, azetidiny, oxetanyl, thietanyl, pyrrolidinyl, tetrahydrofuryl, ~~thioranyl~~ thiolanyl, piperidinyl, tetrahydropyranyl, morpholinyl, thiomorpholinyl, piperazinyl etc., and the like.

Please substitute the following paragraph for the first paragraph on page 14 of the specification.

Page 14, paragraph 1 (Currently Amended)

A5
The aryl group of the "aryl group optionally having a substituent or substituents" as a substituent may be, for example, C₆₋₁₄ aryl group such as phenyl, naphthyl, anthryl, phenanthryl, acenaphthylenyl etc., and the like. Here, the substituent of the aryl group includes, for example, lower alkoxy group (e.g., C₁₋₆ alkoxy group such as methoxy, ethoxy, propoxy etc., and the like), halogen atom (e.g., fluorine, chlorine, bromine, iodine etc.), optionally halogenated lower alkyl group (e.g., C₁₋₆ alkyl group such as methyl, ethyl, propyl, trifluoroethyl etc., etc.), amino group, hydroxy group, cyano group, amidino group and the like, wherein one or two of these optional substituents may be present at a substitutable position.

Please substitute the following paragraph for the second paragraph on page 16 of the specification.

Page 16, paragraph 2 (Currently Amended)

Alc
Examples of the "aromatic heterocyclic group" include aromatic monocyclic heterocyclic group (e.g., 5- or 6-membered aromatic monocyclic heterocyclic group such as furyl, thienyl, pyrrolyl, oxazolyl, isooxazolyl, thiazolyl, isothiazolyl, imidazolyl, pyrazolyl, 1,2,3-oxadiazolyl, 1,2,4-oxadiazolyl, 1,3,4-oxadiazolyl, furazanyl, 1,2,3-thiadiazolyl, 1,2,4-thiadiazolyl, 1,3,4-thiadiazolyl, 1,2,3-triazolyl, 1,2,4-triazolyl, tetrazolyl, pyridyl, pyridazinyl, pyrimidinyl, pyrazinyl, triazinyl etc.); condensed aromatic heterocyclic group [e.g., 8 to 12-membered condensed aromatic heterocyclic group (preferably a heterocycle wherein the aforementioned 5- or 6-membered aromatic monocyclic heterocyclic group is condensed with a benzene ring or a heterocycle wherein the same or different two ~~heterocycle~~ heterocycles of the aforementioned 5- or 6-membered aromatic monocyclic heterocyclic group are condensed), such as benzofuranyl, isobenzofuranyl, benzothienyl, indolyl, isoindolyl, 1H-indazolyl, benzindazolyl, benzooxazolyl, 1,2-benzoisooxazolyl, benzothiazolyl, 1,2-benzoisothiazolyl, 1H-benzotriazolyl, quinolyl, isoquinolyl, cinnolinyl, quinazolinyl, quinoxalyl, phthalazinyl, naphthyridinyl, purinyl, pteridinyl, carbazolyl, α -carbolinyl, β -carbolinyl, γ -carbolinyl, acridinyl, phenoxazinyl, phenothiazinyl, phenazinyl, ~~Phenoxathiinyl~~ phenoxathiinyl, thianthrenyl, phenanthridinyl, phenanthrolinyl, indolizinyl, ~~pyrro~~ pyrrolo [1,2-b]pyridazinyl, pyrazolo[1,5-a]pyridyl, imidazo[1,2-a]pyridyl, imidazo[1,5-a]pyridyl, imidazo[1,2-b]pyridazinyl, imidazo[1,2-a]pyrimidinyl, 1,2,4-triazolo[4,3-a]pyridyl, 1,2,4-triazolo[4,3-b]pyridazinyl etc.] and the like.

Please substitute the following paragraph for the third paragraph starting on page 16 of the specification.

Page 16, paragraph 3 (Currently Amended)

A7
Examples of the "non-aromatic heterocyclic group" include 3 to 8-membered (preferably 5- or 6-membered) saturated or unsaturated (preferably saturated) non-aromatic heterocyclic **group groups** (aliphatic heterocyclic group), such as oxiranyl, azetidiny, oxetanyl, thietanyl, pyrrolidinyl, tetrahydrofuryl, ~~thioranyl~~ **thiolanyl**, piperidinyl, tetrahydropyranyl, morpholinyl, thiomorpholinyl, piperazinyl etc., and the like.

Please substitute the following paragraph for the third paragraph starting on page 17 of the specification.

Page 17, paragraph 3 (Currently Amended)

A8
The substituent of the "amino group optionally having a substituent or substituents", "imidoyl group optionally having a substituent or substituents", "amidino group optionally having a substituent or substituents", "hydroxy group optionally having a substituent or substituents" and "thiol group optionally having a substituent or substituents" as a substituent may be, for example, lower alkyl group **optionally substituted with halogen or phenyl** (e.g., C₁₋₆ alkyl group **optionally substituted with halogen or phenyl**, such as methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl, pentyl, hexyl, **trifluoromethyl, benzyl** etc., and the like), acyl group (e.g., C₁₋₆ alkanoyl (e.g., formyl, acetyl, propionyl, pivaloyl etc.), benzoyl etc.), C₁₋₆ alkyl sulfonyl (e.g., methanesulfonyl, ethanesulfonyl etc.), C₃₋₁₄ arylsulfonyl (e.g., benzenesulfonyl, p-toluenesulfonyl etc.), optionally halogenated C₁₋₆ alkoxy-carbonyl (e.g., trifluoromethoxycarbonyl, 2,2,2-trifluoroethoxycarbonyl, trichloromethoxycarbonyl, 2,2,2-trichloroethoxycarbonyl etc.), and the like. The "amino group" of the "amino group optionally

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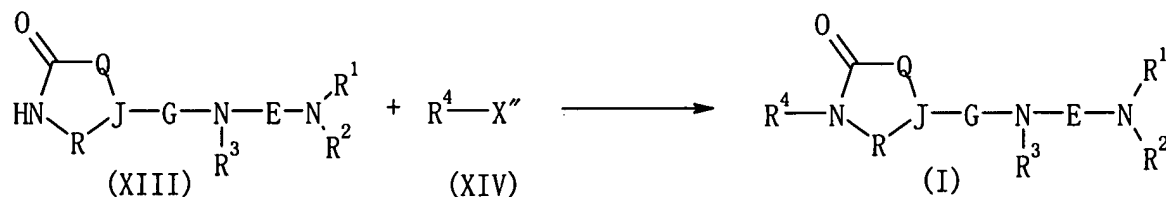
having a substituent or substituents" as the substituent may be substituted by imidoyl group optionally having a substituent or substituents (e.g., C₁₋₆ alkyl imidoyl, formylimidoyl, amidino etc.), and the like. In addition, two substituents may form a cyclic amino group together with a nitrogen atom. In this case, examples of the cyclic amino group include 3 to 8-membered (preferably 5- or 6-membered) cyclic amino, such as 1-azetidiny, 1-pyrrolidinyl, 1-piperidinyl, morpholino, 1-piperazinyl and 1-piperazinyl optionally having, at the 4-position, lower alkyl group (e.g., C₁₋₆ alkyl group, such as methyl, ethyl, propyl, isopropyl, butyl, t-butyl, pentyl, hexyl etc., and the like), aralkyl group (e.g., C₇₋₁₀ aralkyl group, such as benzyl, phenethyl etc., and the like), aryl group (e.g., C₆₋₁₀ aryl group, such as phenyl, 1-naphthyl, 2-naphthyl etc., and the like), and the like.

Please substitute the following paragraph for the sixth paragraph on page 35 of the specification.

Page 35, paragraph 6 (Currently Amended)

A9

As shown in the following formulas, the compound **(XIII)** and compound (XIV) are reacted to produce compound (I).



wherein X'' is a leaving group and other symbols are as defined above.

Please substitute the following paragraph for the second paragraph on page 108 of the specification.

Page 108, paragraph 2 (Currently Amended)

~~(1), (2), (3), 2/3 of (4) and 1/2 of (5) are mixed and then granulated. To the granules are added the remainders of (4) and (5), followed by subjecting the mixture to compression molding.~~ (1), (2), (3) and 1/2 of (4) are mixed and then granulated. To the granules is added the remainder of (4), and the whole is filled into a gelatin capsule.

2. tablets

(1) Compound obtained in Example 51	40 mg
(2) Lactose	58 mg
(3) Corn starch	18 mg
(4) Microcrystalline cellulose	3.5 mg
(5) Magnesium stearate	0.5 mg
1 tablet	120 mg

Please substitute the following paragraph for the third paragraph on page 108 of the specification.

Page 108, paragraph 3 (Currently Amended)

~~(1), (2), (3) and 1/2 of (4) are mixed and then granulated. To the granules is added the remainder of (4), and the whole is filled into a gelatin capsule.~~ (1), (2), (3), 2/3 of (4) and 1/2 of (5) are mixed and then granulated. To the granules are added the remainders of (4) and (5), followed by subjecting the mixture to compression molding.